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54. Means for achieving cessation of smoking and/or for nicotine consumption free of side effects in addicted smokers.

The invention relates to a means for achieving cessation of smoking and/or for nicotine consumption free of side effects in addicted smokers, which is characterised in that the means is an aerosol or spray containing pure nicotine.

Particular embodiments are characterised in that it contains nicotine in variable concentrations and that the nicotine is present in a buffered solution.

The means according to the invention has the advantages of a surprisingly high effectiveness, freedom from harmful side effects, and good resorbability as well as a simple metering capability.

The means enables a cessation of smoking to be achieved, as well as a hitherto unknown sharp reduction of the harmful side effects of addictive smoking, and contributes to the avoidance of associated diseases and conditions.

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**Means for achieving cessation of smoking and/or for nicotine consumption free of side effects  
in addicted smokers**

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The present invention relates to a means for achieving cessation of smoking and/or for nicotine consumption free of side effects in addicted smokers.

Various methods are known for cessation of smoking. Most of the hitherto known means for cessation of smoking act either as a nicotine substitute (e.g. Lobelin, Cytisin, Anabasin) or as a taste denaturant. Thus, attempts have already been made to use nicotine in tablet form for cessation of smoking (F. Schmidt: Münch. Med. Wsehr. 116, 557-564 (1974)). A nicotine-containing chewing gum for cessation of smoking has also been introduced onto the market by a Swedish company. These methods have the disadvantages however that both application forms differ significantly from the nicotine intake through smoking, since the resorption takes much longer. For this reason the sufficiently high nicotine level desired by the smoker is achieved only with a delay compared to smoking, and there is the danger of inflammation of the gastric mucosae or even the formation of ulcers after prolonged use. Accordingly, the nicotine enjoyment desired by the smoker that is achieved by nicotine tablets or nicotine chewing gum is also substantially less than in the case of smoking. Nicotine substitutes have the disadvantage that none of them can achieve the same nicotine effect as that obtained with nicotine itself.

The means used hitherto for cessation of smoking have the disadvantages of an unsatisfactory effectiveness and poor resorption through the mucous membranes.

The object of the present invention on the other hand is to provide a means for cessation of smoking that is highly effective, has no harmful side effects, and is highly resorbable.

This object is achieved with a means of the generic class mentioned hereinbefore, characterised in that it is an aerosol or spray containing pure nicotine.

Particular embodiments of the means according to the invention are characterised in that it contains nicotine in variable concentrations, and that it contains nicotine in a buffered solution.

With a nicotine spray or a nicotine-containing aerosol the resorption is as a result of the large lung surface, which reaches the size of a football pitch, and accordingly the addiction-satisfying effect is also particularly large and quick-acting compared to the hitherto used smoking cessation means.

The essence of the invention is discussed in more detail hereinafter:

The envisaged principal application of nicotine sprays or aerosols is their use in achieving cessation of smoking. This is based on the fact that the nicotine content of the tobacco is decisive for the enjoyment of smoking; were this not the case, one could also smoke dried lettuce leaves instead of dried tobacco leaves. Since most of the harmful substances inhaled during smoking are formed only when the tobacco burns, the damage to health caused by nicotine consumption can be reduced at a stroke to a fraction if the "nicotine hunger" of the smoker can be satisfied not by smoking, but in some other way. This would undoubtedly be a great benefit to the health of the population.

- 10 This is true even in the case where no complete rejection of nicotine but only a preference for a nicotine aerosol over the inhalation of tobacco smoke is achieved.

In smoking, apart from the nicotine intake the ritual associated with smoking, namely drawing on the cigarette, blowing out the smoke, etc., is also important. This led to the idea of administering nicotine in pure form and in an exact dosage in a manner corresponding fully to that in smoking, i.e. as a nicotine aerosol or nicotine spray.

The basic concept of cessation of smoking based on this idea is to administer the accustomed amount of nicotine to the smoker desirous of giving up smoking, not as hitherto as tobacco smoke, so as to first of all to free the smoker from the ritual involved in smoking. After this first step the nicotine dose is gradually reduced in ever smaller dosages, until the smoker wishing to give up smoking is able to manage completely without nicotine or tobacco smoke.

Even if in the case of the discussed addicted smokers, due to the administration of nicotine as an aerosol or spray a complete abstinence from nicotine cannot be achieved in all cases because of their dependence on the drug, it would nevertheless already be a significant health benefit for these addicted smokers to eliminate at least the majority of the harmful substances in tobacco smoke and restrict themselves to the intake of nicotine in the form of a nicotine aerosol.

A further significant advantage of the administration of nicotine in the form of an aerosol or spray is its environmental harmlessness. In an already mentioned publication (F. Schmidt 1982) of the applicant, it was shown that tobacco smoke is the most important air pollutant in enclosed spaces, and that non-smokers or passive smokers are not only exposed to a pollutant, but are also exposed to a health hazard. No significant environmental contamination is to be expected however from the use of nicotine in the form according to the invention.

Further advantages of the invention that may be mentioned are the following: of the numerous toxic substances in tobacco smoke that have made smoking one of the most important individual causes of illness and death, as the applicant has demonstrated in well over 100 publications (see for example F. Schmidt: *Der Öffentliche Dienst* 35, 97-104, 122-129 (1982); F. Schmidt: The most important harmful effects on health due to smoking. In: *Rauchen or Gesundheit – Deine Wahl* (Smoking or health - your choice) 1980, 27-24; Bundesvereinigung für Gesundheitserziehung Bonn 1980), by far the greatest proportion are eliminated to start with. These include with for example more than 40 carcinogenic substances in tobacco smoke, in connection with which, according to a press release issued by the Federal Ministry of Health, 40% of all cancers in men could be avoided by not smoking, the poisonous CO in tobacco smoke, which is primarily responsible for heart attacks in smokers, and furthermore numerous irritants that overall have made tobacco smoke one of the most important causes of chronic bronchitis, from which alone about 18,000 citizens die prematurely every year and a further 17,000 per year become prematurely disabled, etc. Although nicotine in acute application, e.g. by injection, is also a powerful poison, it is however broken down relatively quickly in the liver. Without this rapid breakdown the total inhaled nicotine dose of a heavy smoker would be lethal within one day. However, up to now no unambiguous results exist on the long term effects of small doses of nicotine. Obviously, the use of nicotine as an aerosol or spray is also not completely harmless. The possible harmful effect is however without doubt far less than in the inhalation of nicotine together with other harmful substances through smoking.

According to the invention nicotine is used in pure form, but not in conjunction with the more than 1,000 chemical substances in tobacco smoke, which for the most part are formed only in the combustion of tobacco smoke or are contained in the dried tobacco leaves used for smoking. The invention is based on the certain scientific knowledge that it is primarily the nicotine contained in tobacco that is responsible for the enjoyment of smoking.

In the use of the means according to the invention the nicotine is employed in an exact dosage. This object is achieved by the use of a buffered nicotine solution in ampoules. The smoker wanting to give up the habit or nicotine-dependent addicted smoker thus has the possibility of choosing ampoules with different nicotine contents and thereby determining for himself for an individual nicotine inhalation the amount that corresponds to the nicotine content of his preferred brand of cigarette.

Also the nature of the nicotine intake corresponds to that in smoking, i.e. by resorption through the mouth or nasal mucous membranes or through the respiratory epithelium of the

lung by inhalation. In a completely similar way to smoking, the smoker can thus either prefer to take in nicotine via the buccal mucous membrane, as in non-inhalative smoking, by introducing the nicotine aerosol or nicotine spray into the open mouth and leaving it there for a sufficient time, or by inhalation into the lungs via the buccal cavity, or through the nose.

- 5    Whichever way is preferred is completely up to the user, as in smoking.

The practical technical use of the nicotine aerosol or nicotine spray is discussed hereinafter.

- 10    The buffered nicotine solution is available in ampoule form in various nicotine concentrations depending on the smoking intensity and the nicotine content of the smoked tobacco products. The nicotine is inhaled using a suitable inhaler or spray device, several types of which are available on the market, or is taken in through the buccal cavity. Whichever device is used is of secondary importance.

- 15    Thus, for example, an inexpensive "Micro Inhaler" (Siemens, ca DM 200) based on ultrasound technology is available on the market. Much simpler and therefore also even cheaper is a spray device (Desaga-Heidelberg), which can be adapted without any difficulty also to small amounts of 1 ml. The choice of device is left to the person wanting to give up smoking, as is the level of his nicotine intake, which he himself can largely regulate in various  
20    ways, for example by the number of nicotine ampoules used per day, by the amount of nicotine contained therein, or also by the depth of inhalation. In this connection inhalation through the open mouth corresponds completely to the nicotine intake in smoking. If a smoker prefers nasal inhalation, which has certain similarities to taking snuff, then this is a perfectly satisfactory method.

- 25    The most important objective is first of all to free the smoker completely from nicotine intake through smoking and to change over to the new form of satisfying the craving for nicotine. Once this objective has been achieved, i.e. there is no longer a craving for a cigarette, cigar or pipe, the smoker wishing to give up smoking can progressively reduce the number of  
30    consumed nicotine capsules over the course of several weeks, until he finally no longer craves nicotine.

- A threatened smoking relapse can very easily be prevented in this way. Once the craving for nicotine has been overcome, a few inhalations are sufficient until the "period of weakness"  
35    has elapsed. The person wishing to give up smoking then only needs to avoid one thing, namely nicotine intake through cigarettes.

Even if a relapse has occurred, which is by far the most serious problem in giving up smoking since the smoker returns to the old cigarette consumption generally in a short time, this is no reason to lose hope entirely, as the new method provides a simple way of satisfying the craving for nicotine in a very much more harmless way than by smoking. This is true in particular of all smoking-related cancers such as malignant tumours of the upper respiratory tract, for example cancers of the lip, mouth and throat, cancer of the larynx, bladder cancer, kidney cancer, cancer of the pancreas, cancer of the oesophagus, and is also true of heart attacks, chronic bronchitis, etc. Scientific results pointing to a carcinogenic effect of chronic nicotine intake do not yet exist. Therefore, even if a nicotine-dependent addicted smoker could not be induced to give up nicotine completely with the help of this new method, the nicotine intake in the form of an aerosol or spray would be preferable, quite apart from the hitherto known and real reduction in nicotine consumption, since the harmful effect is restricted only to nicotine itself and all other harmful substances in tobacco smoke are completely excluded. As regards the usefulness and applicability of this new method of administering nicotine, there can surely be no doubt if one looks at the catastrophic effects of smoking on the health of the population; the Federal Government in Federal Report 7/2070 has put the number of citizens who die prematurely each year simply because they smoke at 140.000. Even if with the help of this new form of administration of nicotine only a small fraction of the roughly 18 million smokers in the Federal Republic gave up smoking or were induced in the case of nicotine dependence to change to our method of consumption of this harmful substance, this would save untold smokers from illness and death.

The cigarette industry has made previous attempts to reduce the harmful effects of smoking by means of cigarette filters. It has been shown elsewhere by the applicant, (F. Schmidt: Bild der Wissenschaft Issue No. 1979, 101-111, F. Schmidt, Medical Tribune (German edition), Issue 18, No. 45, page 54) that the problem of the harmful effects of smoking cannot be solved in this way since the level of inhalation is at least one hundred times as important for the intake of harmful substances through smoking, as the content of harmful substances in an individual cigarette. An unwitting increase in the level of inhalation of only 1% in order to take in the usual amount of nicotine is fully sufficient to reduce to half or even more the intake of harmful substances. These cigarette filters are furthermore based on a patent of a German participant in World War One (1914-1918), who was annoyed by the flakes of tobacco that constantly stuck to his lips when smoking. None of the cigarette companies to which he offered his patent for exploitation expressed any interest. Only after his patent had lapsed, because he could no longer pay the renewal fees, was this idea taken up by the cigarette companies.

The present invention solves in a technically simple manner with a high degree of effectiveness the object of responding to the extremely important question of dosage in the case of such a harmful substance.

- 5 The invention enables a person to give up smoking by means of this new application form of nicotine in an exact dosage. Any person who is not yet nicotine-dependent can in this way make the transformation to a non-smoker.

- For nicotine-dependent addicted smokers there is at least the hope that this new way will  
 10 provide them with a similarly moderate nicotine intake without the substantially more harmful accompanying substances in tobacco smoke, as is the case for example with alcohol consumption. Alcohol, too, is known to be a harmful substance. Nicotine obviously has the advantage over alcohol in that the dependence is not manifested as a constantly increasing consumption, and also does not destroy the personality. In any case, the invention gives  
 15 justified hope that the harmful effects of smoking can be significantly reduced, either by help in giving up smoking or by reduced nicotine consumption.

#### Patent claims

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1. Means for the purpose of achieving cessation of smoking and/or achieving nicotine consumption free of side effects in addicted smokers, characterised in that the means is an aerosol or spray containing pure nicotine.

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2. Means according to claim 1, characterised in that it contains nicotine in variable concentrations.

3. Means according to claims 1 and 2, characterised in that it contains nicotine in a buffered solution.

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